

Author Search

=> FILE CAPLUS

FILE 'CAPLUS' ENTERED AT 14:33:53 ON 29 MAR 2007

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FILE COVERS 1907 - 29 Mar 2007 VOL 146 ISS 14

FILE LAST UPDATED: 28 Mar 2007 (20070328/ED)

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<http://www.cas.org/infopolicy.html>

'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

=> D QUE L16

L14 173 SEA FILE=CAPLUS ABB=ON PLU=ON MORLEY A?/AU

L15 35 SEA FILE=CAPLUS ABB=ON PLU=ON POYSER J?/AU

L16 4 SEA FILE=CAPLUS ABB=ON PLU=ON L14 AND L15

=> D IBIB ED ABS 1-4

L16 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1004735 CAPLUS Full-text

DOCUMENT NUMBER: 143:306312

TITLE: Preparation of hydantoin derivatives for use as tace and aggrecanase inhibitors

INVENTOR(S): Burrows, Jeremy Nicholas; Morley, Andrew David
; Tucker, Howard; Poyser, Jeffrey Philip

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 91 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005085232	A1	20050915	WO 2005-GB759	20050301
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,				

Serial No.: 10/542,044

AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

GB 2004-5101

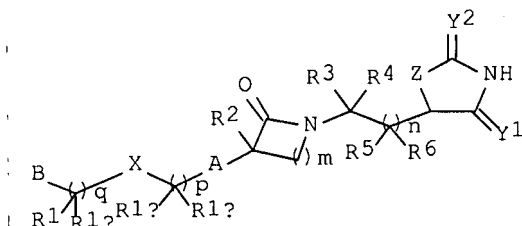
A 20040306

OTHER SOURCE(S):

MARPAT 143:306312

ED Entered STN: 16 Sep 2005

GI



I

AB Title compds. I [Y1-2 = O, S; Z = (un)substituted amino, amido, etc.; n = 0-2; m = 1-4; p = 0-2; q = 0-1; A = arylene, heteroarylene, heterocyclylene; X = absent, O, SO0-2, (un)substituted amino, etc.; B = aryl, heteroaryl, carbocyclyl, etc.; R1, R1a, R1b, R1c = H, alkyl, cycloalkyl; R2 = H, halo, heterocyclyl, alkoxy, etc.; R3-6 = H, alk(en/yn)yl, cycloalkyl, etc.] are prepared For instance, 5-[[[3-Methyl-3-[4-[(2-methylquinolin-4-yl)methoxy]phenyl]-2-oxoazetidin-1-yl]methyl]imidazolidine-2,4-dione (II) is prepared in 9 steps from Me 2-[4-(benzyloxy)phenyl]propanoate, 2,2-dimethyl-1,3-dioxolane-4- methanamine and 4-chloro-2-methylquinoline. I are useful in the inhibition of metalloproteinases and in particular in the inhibition of TNF- α converting enzyme (TACE), aggrecanase or the combination thereof; II caused 50% inhibition of TACE at 44 nM. I are useful in the treatment of inflammatory and autoimmune diseases.

REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:606462 CAPLUS Full-text

DOCUMENT NUMBER: 141:157027

TITLE: Preparation of thiophenylcarboxamides as IKK-2 inhibitors for the treatment of inflammatory diseases.

INVENTOR(S): Faull, Alan Wellington; Johnstone, Craig; **Morley, Andrew David; Poyser, Jeffrey Philip**

PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

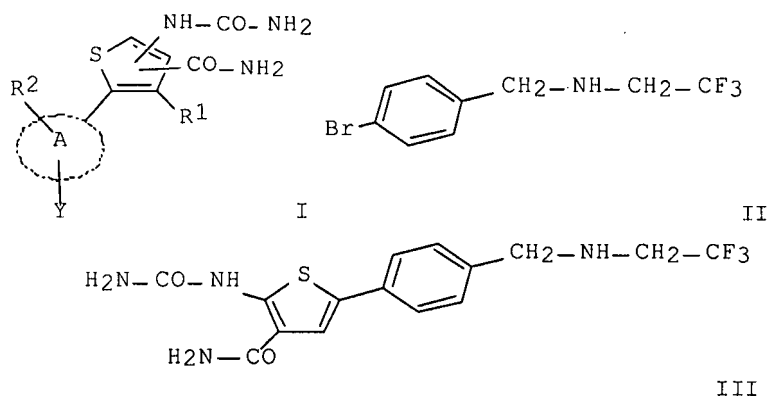
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004063186	A1	20040729	WO 2004-GB96	20040113
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ
EP 1583755 A1 20051012 EP 2004-701627 20040113
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
JP 2006516273 T 20060629 JP 2006-500200 20040113
US 2006058522 A1 20060316 US 2005-542326 20050713
PRIORITY APPLN. INFO.: SE 2003-92 A 20030115
WO 2004-GB96 W 20040113

OTHER SOURCE(S): MARPAT 141:157027

ED Entered STN: 29 Jul 2004

GI



AB Title compds. I [R1 = H, CH3; R2 = H, halo, CN, etc.; R3, R4 = H, CH3; A = 6-membered aromatic ring optionally incorporating one or two nitrogen atoms; X = NR6; R5 = H, Cl, alkyl, etc.; R6 = H, Cl, alkyl] and their pharmaceutically acceptable salts were prepared. For example, Pd mediated coupling of 2-[(aminocarbonyl)amino]-5-bromothiophene-3-carboxamide and bromide II, e.g., prepared from 4-bromobenzylbromide and 2,2,2-trifluoroethylamine, afforded thiophenylcarboxamide III. In IKK-2 filter kinase inhibition assays, 4-examples of compds. I exhibited IC50 values ranging from 0.00056-0.066 μ M, e.g., the IC50 value of thiophenylcarboxamide III was 0.0036 μ M. Compds. I are claimed useful for the treatment of inflammatory diseases.

L16 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:606461 CAPLUS Full-text

DOCUMENT NUMBER: 141:157026

TITLE: Preparation of thiophenylcarboxamides as IKK-2 inhibitors for the treatment of inflammatory diseases.

INVENTOR(S): **Morley, Andrew David; Poyser, Jeffrey Philip**

PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 46 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

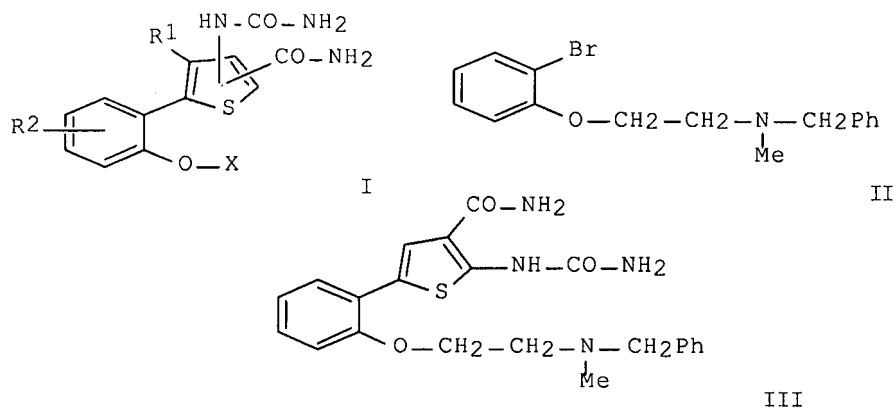
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004063185	A1	20040729	WO 2004-GB106	20040113
WO 2004063185	A8	20040923		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ				
AU 2004203967	A1	20040729	AU 2004-203967	20040113
CA 2512336	A1	20040729	CA 2004-2512336	20040113
EP 1583756	A1	20051012	EP 2004-701632	20040113
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004006774	A	20051227	BR 2004-6774	20040113
CN 1738812	A	20060222	CN 2004-80002304	20040113
JP 2006515355	T	20060525	JP 2006-500206	20040113
US 2006111431	A1	20060525	US 2005-542044	20050713
NO 2005003810	A	20051012	NO 2005-3810	20050812
PRIORITY APPLN. INFO.:				
			SE 2003-91	A 20030115
			WO 2004-GB106	A 20040113

OTHER SOURCE(S): MARPAT 141:157026

ED Entered STN: 29 Jul 2004

GI



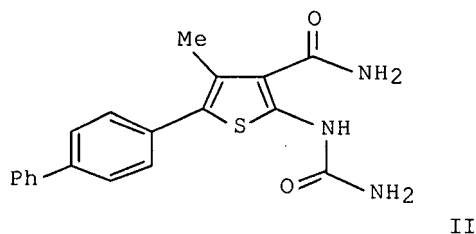
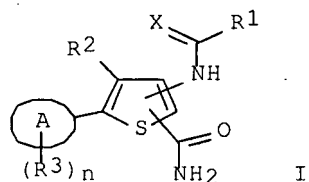
AB Title compds. I [$R_1 = \text{H, CH}_3$; $R_2 = \text{H, halo, CN, etc.}$; $X = \text{C}(R_4R_5)_y\text{NR}_3(\text{CR}_4R_5)_m\text{-Ar}$; $y = n + 1$; $n = 1-3$; $m = 0-3$; $R_3 = \text{H, (un)substitued alkenyl, alkyl}$; $R_4, R_5 = \text{H, alkyl with provisos}$; $\text{Ar} = \text{Ph ring or a 5- or 6- membered heterocyclic ring containing one to three heteroatoms, e.g., O, N, S}$] and their pharmaceutically acceptable salts were prepared For example, Pd mediated coupling of 2-[(aminocarbonyl)amino]- 5-bromothiophene-3-carboxamide and bromide II, e.g., prepared from 1-bromo-2-[2-chloroethoxy]benzene and N-methylbenzylamine, afforded thiophenylcarboxamide III. In IKK-2 filter kinase inhibition assays, 6-examples of compds. I exhibited IC_{50} values ranging from 0.01-1.43 μM , e.g., the IC_{50} value of thiophenylcarboxamide III was 0.04 μM . Compds. I are claimed useful for the treatment of inflammatory diseases.

Serial No.: 10/542,044

ACCESSION NUMBER: 2003:97411 CAPLUS Full-text
 DOCUMENT NUMBER: 138:137162
 TITLE: Preparation of ureido-carboxamido thiophenes as
 inhibitors of IKK2 kinase
 INVENTOR(S): Faull, Alan; Johnstone, Craig; Morley, Andrew
 ; Poyser, Jeffrey Philip
 PATENT ASSIGNEE(S): Astrazeneca A.B., Swed.
 SOURCE: PCT Int. Appl., 180 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003010158	A1	20030206	WO 2002-SE1403	20020719
W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW	
RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	
CA 2454703	A1	20030206	CA 2002-2454703	20020719
EP 1421074	A1	20040526	EP 2002-751935	20020719
R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK	
BR 2002011473	A	20041026	BR 2002-11473	20020719
CN 1541214	A	20041027	CN 2002-815836	20020719
JP 2005503372	T	20050203	JP 2003-515517	20020719
NZ 530750	A	20050826	NZ 2002-530750	20020719
US 2004242573	A1	20041202	US 2004-484569	20040122
US 7125896	B2	20061024		
ZA 2004000492	A	20050422	ZA 2004-492	20040122
NO 2004000313	A	20040325	NO 2004-313	20040123
HK 1071129	A1	20061229	HK 2005-103629	20050427
US 2007015819	A1	20070118	US 2006-516225	20060906
PRIORITY APPLN. INFO.:			SE 2001-2616	A 20010725
			WO 2002-SE1403	W 20020719
			US 2004-484569	A3 20040122

OTHER SOURCE(S): MARPAT 138:137162
 ED Entered STN: 07 Feb 2003
 GI



AB Title compds. I [R1 = NH2, (un)substituted methyl; X = O, S; R2 = H, halo, CN, NO2, amino, carboxamido, carboxy, etc.; A = Ph, 5-7-membered (un)substituted heteroarom. ring; n = 1-2; R3 = W-Y-Z; W = O, SOO-2; amino, CH2(O), bond; Y = (CH2)0-2-T-(CH2)0-2; T = O, CO, alkyl; Z = Ph, 5-6-membered (un)substituted heteroarom. ring, etc.; with specific exceptions] are prepared For instance, (1,1'-biphenyl-4-yl)acetone, cyanoacetamide, sulfur and morpholine in EtOH at 55° are reacted to give 2-Amino-4-methyl-5-(1,1'-biphenyl-4-yl)-3-thiophencarboxamide. This intermediate is treated with trichloroacetyl isocyanate and ammonia in MeOH to give example compound II. Compds. of the invention have IC50 < 10 μ M for IKK2 kinase. I are useful for the treatment of inflammatory diseases.

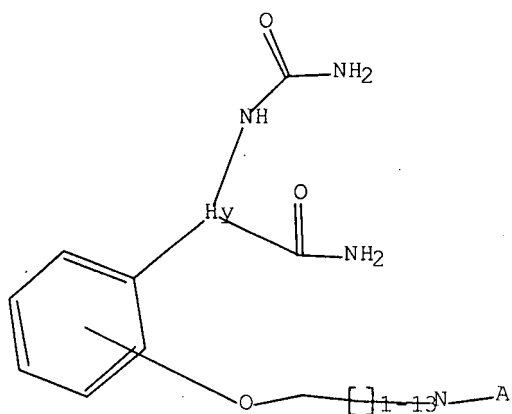
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Structure Search

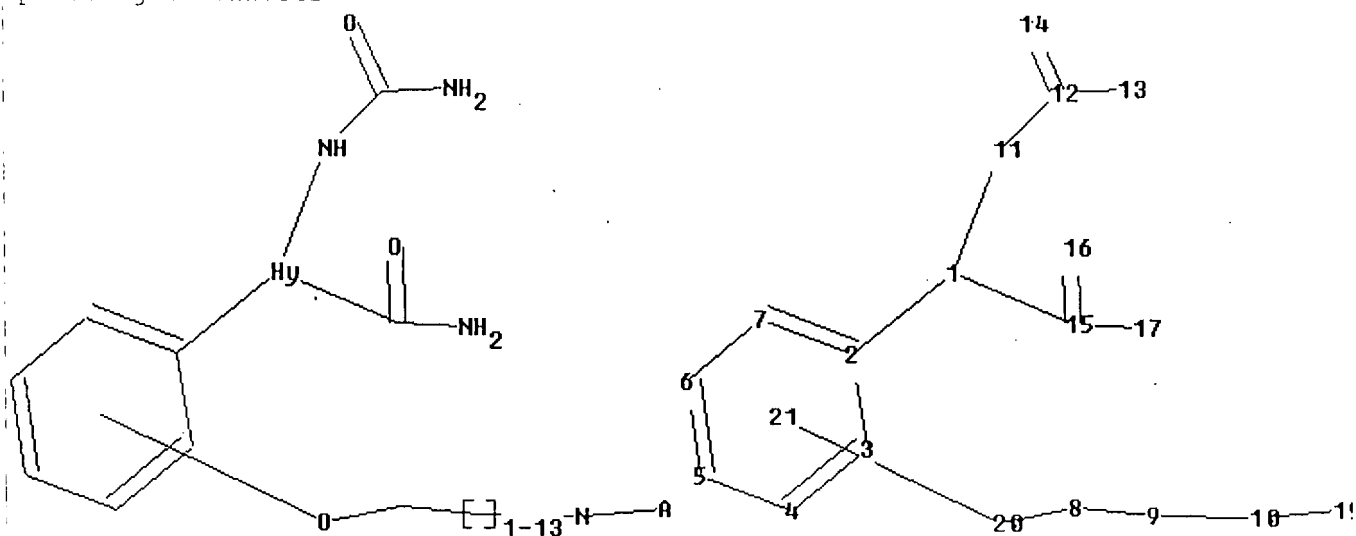
=> D QUE L6

L1

STR



Structure attributes must be viewed using STN Express query preparation:
Uploading L1-III.str



chain nodes :

1 11 12 13 14 15 16 17 20

ring nodes :

2 3 4 5 6 7

ring/chain nodes :

8 9 10 19

chain bonds :

1-2 1-11 1-15 8-20 11-12 12-13 12-14 15-17 15-16

ring/chain bonds :

8-9 9-10 10-19

ring bonds :

2-3 2-7 3-4 4-5 5-6 6-7

exact/norm bonds :

1-2 1-11 1-15 8-9 8-20 9-10 10-19 11-12 12-13 12-14 15-17 15-16

normalized bonds :

2-3 2-7 3-4 4-5 5-6 6-7

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 19:CLASS
20:CLASS 21:Atom

Generic attributes :

1:
Saturation : Unsaturated
Type of Ring System : Monocyclic

Element Count :

Node 1: Limited
C,C4
S,S1

L3 80 SEA FILE=REGISTRY SSS FUL L1
L4 4 SEA FILE=CAPLUS ABB=ON PLU=ON L3
L5 4 SEA FILE=CAPLUS ABB=ON PLU=ON L4 AND PATENT/DT
L6 3 SEA FILE=CAPLUS ABB=ON PLU=ON L5 AND (PRY<=2004 OR AY<=2004
OR PY<=2004)

=> S L6 NOT L16

L17 1 L6 NOT L16

=> FILE MARPAT

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FILE CONTENT: 1961-PRESENT VOL 146 ISS 12 (20070325/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

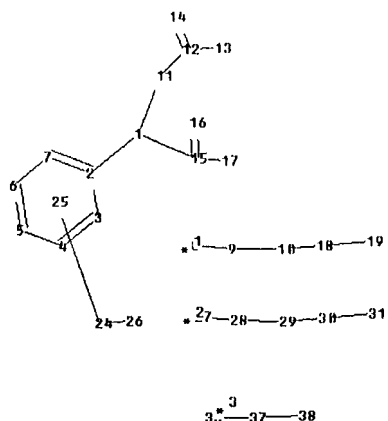
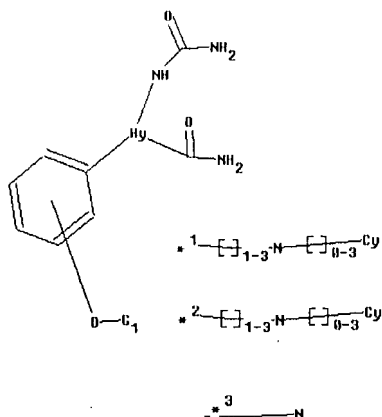
US 2007032719 08 FEB 2007
DE 102006011317 15 FEB 2007
EP 1750119 07 FEB 2007
JP 2007035357 08 FEB 2007
WO 2007022718 01 MAR 2007
GB 2428675 07 FEB 2007
FR 2889524 09 FEB 2007
RU 2293086 10 FEB 2007
CA 2552059 19 JAN 2007

Expanded G-group definition display now available.

=> D QUE L13

L10 STR

Structure attributes must be viewed using STN Express query preparation:
Uploading L1-V.str



chain nodes :

1 8 9 10 11 12 13 14 15 16 17 18 19 24 26 31 36 37

ring nodes :

2 3 4 5 6 7 27 28 29 30 38

chain bonds :

1-2 1-11 1-15 8-9 9-10 10-18 11-12 12-13 12-14 15-17 15-16 18-19 24-26
30-31 36-37 37-38

ring bonds :

2-3 2-7 3-4 4-5 5-6 6-7 27-28 28-29 29-30

exact/norm bonds :

1-2 1-11 1-15 8-9 9-10 10-18 11-12 12-13 12-14 15-17 15-16 18-19 24-26
27-28 28-29 29-30 30-31 37-38

exact bonds :

36-37

normalized bonds :

2-3 2-7 3-4 4-5 5-6 6-7

G1:[*1],[*2],[*3]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:Atom 24:CLASS
25:Atom 26:CLASS 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 36:CLASS 37:CLASS
38:Atom

Generic attributes :

1:

Saturation : Unsaturated

Type of Ring System : Monocyclic

19:

Saturation : Unsaturated
31:
Saturation : Unsaturated

Element Count :
Node 1: Limited
C,C4
S,S1

L12 2 SEA FILE=MARPAT SSS FUL L10
L13 1 SEA FILE=MARPAT ABB=ON PLU=ON L12/COM

=> DUP REM L17 L13

FILE 'CAPLUS' ENTERED AT 14:35:44 ON 29 MAR 2007
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FILE 'MARPAT' ENTERED AT 14:35:44 ON 29 MAR 2007
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PROCESSING COMPLETED FOR L17

PROCESSING COMPLETED FOR L13

L18 2 DUP REM L17 L13 (0 DUPLICATES REMOVED)
ANSWER '1' FROM FILE CAPLUS
ANSWER '2' FROM FILE MARPAT

=> D IBIB ED ABS HITSTR 1; D IBIB AB QHIT 2

L18 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:597977 CAPLUS Full-text
DOCUMENT NUMBER: 135:180698
TITLE: Preparation of thiophenecarboxamides as inhibitors of
the enzyme IKK-2
INVENTOR(S): Baxter, Andrew; Brough, Stephen; Faull, Alan;
Johnstone, Craig; Mcinally, Thomas
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001058890	A1	20010816	WO 2001-SE248	20010207 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,			

Serial No.: 10/542,044

DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2396824 A1 20010816 CA 2001-2396824 20010207 <--
EP 1261600 A1 20021204 EP 2001-902951 20010207 <--
EP 1261600 B1 20040506

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

BR 2001008143 A 20030121 BR 2001-8143 20010207 <--
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AT 266019 T 20040515 AT 2001-902951 20010207 <--
NZ 519947 A 20040528 NZ 2001-519947 20010207 <--
PT 1261600 T 20040831 PT 2001-902951 20010207 <--
ES 2218376 T3 20041116 ES 2001-1902951 20010207 <--
AU 781047 B2 20050505 AU 2001-30705 20010207 <--
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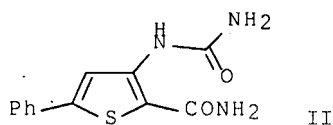
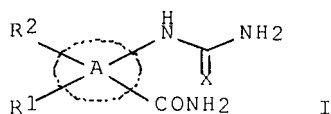
PRIORITY APPLN. INFO.:

GB 2000-3154 A 20000212 <--
WO 2001-SE248 W 20010207 <--

OTHER SOURCE(S): MARPAT 135:180698

ED Entered STN: 17 Aug 2001

GI



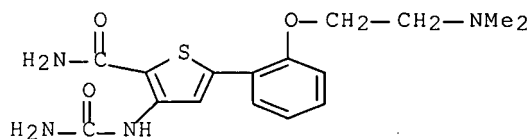
AB The title compds. [I; A = 5-membered heteroarom. ring containing 1-2 heteroatoms selected from O, N or S; R1 = (un)substituted Ph, 5-7 membered heteroarom. ring containing 1-3 heteroatoms selected from O, N or S; R2 = H, halo, CN, etc.; X = O, S], useful in the treatment or prophylaxis of inflammatory disease, were prepared Thus, refluxing 3-amino-5-phenyl-2-thiophenecarboxamide with trimethylsilyl isocyanate in DMF/CH2Cl2 afforded II.

IT 354811-07-7P 354811-08-8P 354811-11-3P
354811-12-4P 354811-13-5P 354811-14-6P
354811-15-7P 354811-16-8P 354811-17-9P
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354811-80-6P 354811-82-8P 354811-83-9P
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiophenecarboxamides as inhibitors of the enzyme IKK-2)

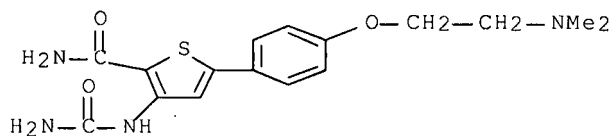
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CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-[2-[2-(dimethylamino)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



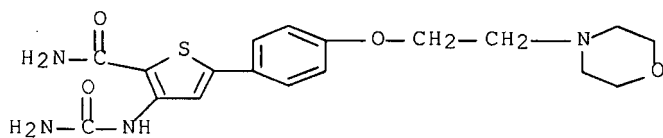
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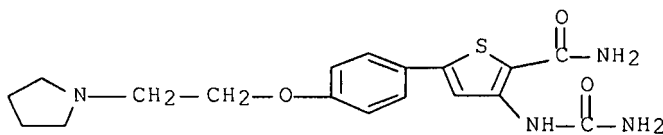
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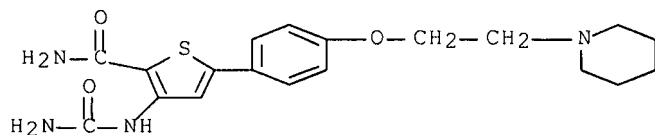
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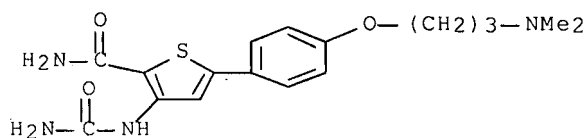
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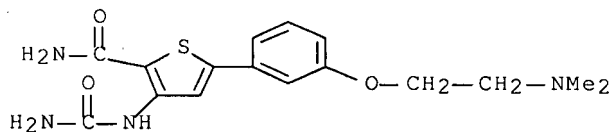
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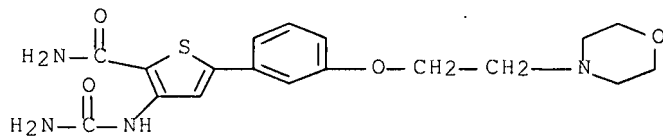
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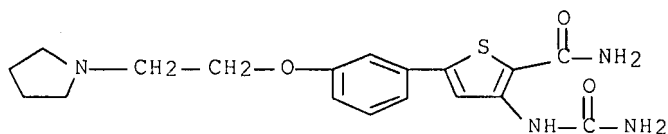
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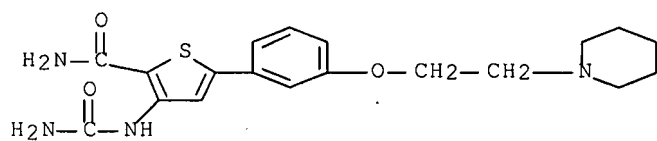
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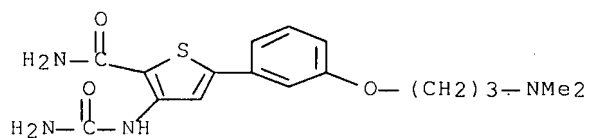
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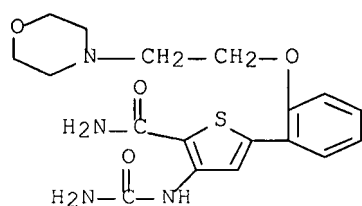
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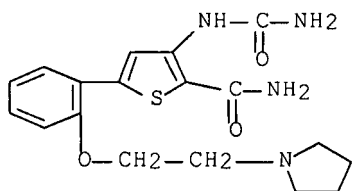
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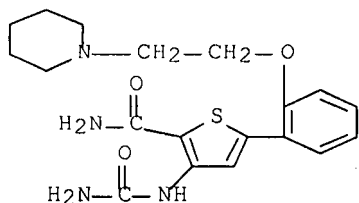
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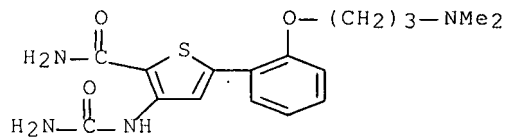
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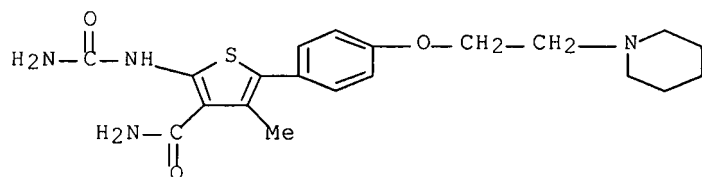
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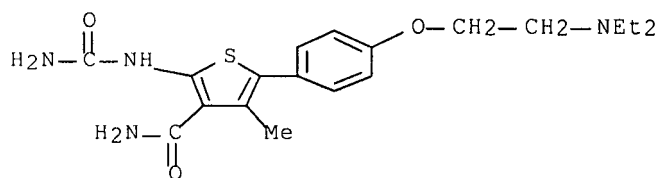
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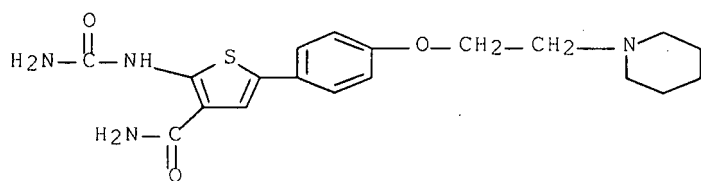
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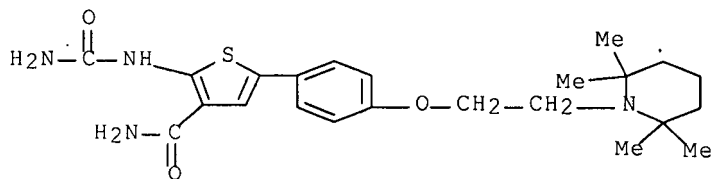
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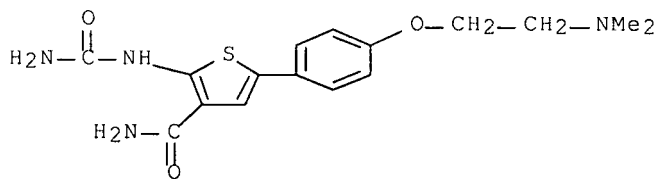
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CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[2-(2,2,6,6-tetramethyl-1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 354811-82-8 CAPLUS

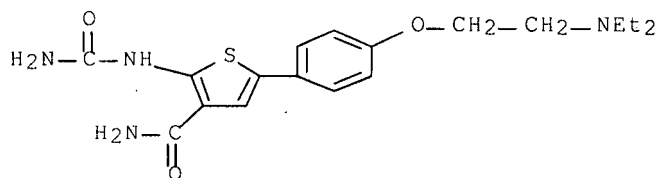
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RN 354811-83-9 CAPLUS

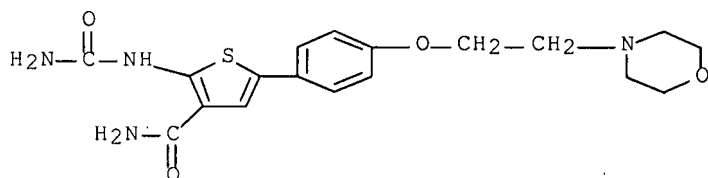
Serial No.: 10/542,044

CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[2-(diethylamino)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 354811-84-0 CAPLUS

CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[4-[2-(4-morpholinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 2 OF 2 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 141:157026 MARPAT Full-text

TITLE: Preparation of thiophenylcarboxamides as IKK-2 inhibitors for the treatment of inflammatory diseases.

INVENTOR(S): Morley, Andrew David; Poyser, Jeffrey Philip

PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 46 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004063185	A1	20040729	WO 2004-GB106	20040113
WO 2004063185	A8	20040923		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ			
AU 2004203967	A1	20040729	AU 2004-203967	20040113
CA 2512336	A1	20040729	CA 2004-2512336	20040113
EP 1583756	A1	20051012	EP 2004-701632	20040113

Serial No.: 10/542,044

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

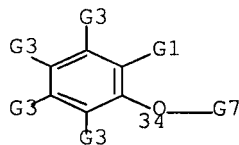
BR 2004006774	A	20051227	BR 2004-6774	20040113
CN 1738812	A	20060222	CN 2004-80002304	20040113
JP 2006515355	T	20060525	JP 2006-500206	20040113
US 2006111431	A1	20060525	US 2005-542044	20050713
NO 2005003810	A	20051012	NO 2005-3810	20050812

PRIORITY APPLN. INFO.:

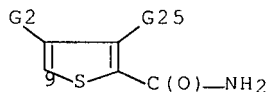
SE 2003-91	20030115
WO 2004-GB106	20040113

AB Title compds. I [R1 = H, CH3; R2 = H, halo, CN, etc.; X = C(R4R5)yNR3(CR4R5)m-Ar; y = n + 1; n = 1-3; m = 0-3; R3 = H, (un)substitued alkenyl, alkyl; R4, R5 = H, alkyl with provisos; Ar = Ph ring or a 5- or 6- membered heterocyclic ring containing one to three heteroatoms, e.g., O, N, S;] and their pharmaceutically acceptable salts were prepared For example, Pd mediated coupling of 2-[(aminocarbonyl)amino]- 5-bromothiophene-3-carboxamide and bromide II, e.g., prepared from 1-bromo-2-[2-chloroethoxy]benzene and N-methylbenzylamine, afforded thiophenylcarboxamide III. In IKK-2 filter kinase inhibition assays, 6-examples of compds. I exhibited IC50 values ranging from 0.01-1.43 μ M, e.g., the IC50 value of thiophenylcarboxamide III was 0.04 μ M. Compds. I are claimed useful for the treatment of inflammatory diseases.

MSTR 1



G1 = 9



G4 = NH

G7 = 35

~~3G24-G1~~ 22

G10 = bond

G12 = Ph (opt. substd. by 1 or more G13)

G22 = 36

~~3G4~~-G10-G12

G24 = CH₂CH₂
G25 = NHCONH₂

Patent location:

claim 1

Note: additional ring formation also claimed

Note: also incorporates claim 7

Note: and pharmaceutically acceptable salts

Search History

L1 STRUCTURE UPLOADED
L2 0 SEA SSS SAM L1
L3 80 SEA SSS FUL L1

FILE 'CAPLUS' ENTERED AT 13:45:51 ON 29 MAR 2007

L4 4 SEA ABB=ON PLU=ON L3
L5 4 SEA ABB=ON PLU=ON L4 AND PATENT/DT
L6 3 SEA ABB=ON PLU=ON L5 AND (PRY<=2004 OR AY<=2004 OR PY<=2004)

FILE 'BEILSTEIN' ENTERED AT 13:48:25 ON 29 MAR 2007

L7 0 SEA ABB=ON PLU=ON L3
L8 0 SEA ABB=ON PLU=ON L3

FILE 'MARPAT' ENTERED AT 14:13:15 ON 29 MAR 2007

L9 STRUCTURE UPLOADED
L10 STRUCTURE UPLOADED
L11 0 SEA SSS SAM L10
L12 2 SEA SSS FUL L10
L13 1 SEA ABB=ON PLU=ON L12/COM

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L14 173 SEA ABB=ON PLU=ON MORLEY A?/AU
L15 35 SEA ABB=ON PLU=ON POYSER J?/AU
L16 4 SEA ABB=ON PLU=ON L14 AND L15
L17 1 SEA ABB=ON PLU=ON L6 NOT L16

FILE 'CAPLUS, MARPAT' ENTERED AT 14:35:44 ON 29 MAR 2007

L18 2 DUP REM L17 L13 (0 DUPLICATES REMOVED)